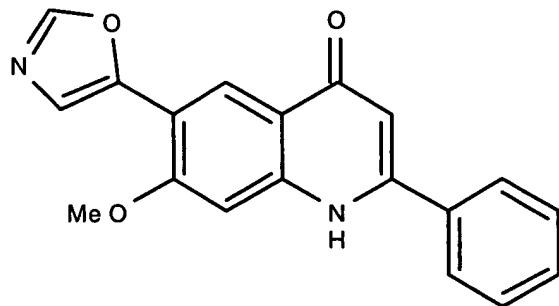
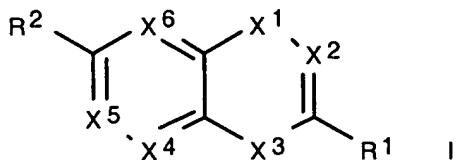


L9 ANSWER 4 OF 82 CAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 2001:798220 CAPLUS  
 DOCUMENT NUMBER: 135:344472  
 TITLE: Preparation of 6-(5-oxazolyl)-4(1H)-quinolinones as inhibitors of IMPDH enzyme  
 INVENTOR(S): Iwanowicz, Edwin J.; Watterson, Scott H.; Dhar, T. G.  
 Murali; Pitts, William J.; Gu, Henry H.  
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA  
 SOURCE: PCT Int. Appl., 263 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001081340	A2	20011101	WO 2001-US12900	20010419
WO 2001081340	A3	20020523		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002040022	A1	20020404	US 2001-840503	20010423
PRIORITY APPLN. INFO.:			US 2000-199420P	P 20000424
OTHER SOURCE(S):		MARPAT 135:344472		
GI				



11

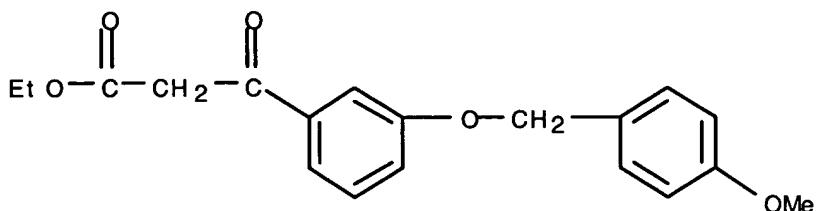
AB Title compds. I [wherein X1 = CO, SO, or SO<sub>2</sub>; X2 = CR<sub>3</sub> or N; X3 = NH, O, or S; X4 = CR<sub>4</sub> or N; X5 = CR<sub>5</sub> or N; X6 = CR<sub>6</sub> or N] were prepared as inosine monophosphate dehydrogenase (IMPDH) enzyme inhibitors. For example, acetalization of 4-nitro-2-methoxytoluene with AcOH (51%), reduction

to the aldehyde (91%), and cycloaddn. with (p-tolylsulfonyl)methyl isocyanate gave 5-(4-nitro-2-methoxyphenyl)oxazole (84%), which was reduced to the amine (95%). Alkylation with Et benzoylacetate and cyclization afforded the 6-(5-oxazolyl)-4(1H)-quinolinone II. Thus, I are useful as therapeutic agents for IMPDH-associated disorders, such as allograft rejection (no data).

IT 371251-24-0P, 3-[(4-Methoxyphenyl)methoxy]-.beta.-oxobenzenepropanoic acid ethyl ester 371251-67-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; preparation of oxazolylquinolinones as inhibitors of IMPDH enzyme for treatment of transplant rejection and other IMPDH-associated disorders)

RN 371251-24-0 CAPLUS

CN Benzenepropanoic acid, 3-[(4-methoxyphenyl)methoxy]-.beta.-oxo-, ethyl ester (9CI) (CA INDEX NAME)



RN 371251-67-1 CAPLUS

CN Benzenepropanoic acid, 4-methyl-.beta.-oxo-3-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)

